1: MetLysProAsnIleIlePheValLeuSerLeuLeuLeuIleLeuGluLysGlnAlaAla -

21: ValMetGlyGlnLysGlyGlySerLysGlyArgLeuProSerGluPheSerGlnPhePro -

41: HisGlyGlnLysGlyGlnHisTyrSerGlyGlnLysGlyLysGlnGlnThrGluSerLys -

61: GlySerPheSerIleGlnTyrThrTyrHisValAspAlaAsnAspHisAspGlnSerArg -

81: LysSerGlnGlnTyrAspLeuAsnAlaLeuHisLysThrThrLysSerGlnArgHisLeu -

101: GlyGlySerGlnGlnLeuLeuHisAsnLysGlnGluGlyArgAspHisAspLysSerLys -

121: GlyHisPheHisArgValValIleHisHisLysGlyGlyLysAlaHisArgGlyThrGln -

CS#5

141: AsnProSerGlnAspGlnGlyAsnSerProSerGlyLysGlyIleSerSerGlnTyr|Ser -

161: AsnThrGluGluArgLeuTrpValHisGlyLeuSerLysGluGlnThrSerValSerGly -

181: AlaGlnLysGlyArgLysGlnGlyGlySerGlnSerSerTyrValLeuGlnThrGluGlu -

201: LeuValAlaAsnLysGlnGlnArgGluThrLysAsnSerHisGlnAsnLysGlyHisTyr -

221: GlnAsnValValGluValArgGluGluHisSerSerLysValGlnThrSerLeuCysPro -

241: AlaHisGlnAspLysLeuGlnHisGlySerLysAspIlePheSerThrGlnAspGluLeu -

Figure 1a

261: LeuValTyrAsnLysAsnGlnHisGlnThrLysAsnLeuAsnGlnAspGlnGlnHisGly -

CS#3

281: ArgLysAlaAsnLysIleSerTyrGln|SerSerSerThrGluGluArgArgLeuHisTyr -

CS#4

301: GlyGluAsnGlyValGlnLysAspValSerGlnSerSerIleTyrSer|GlnThrGluGlu -

321: LysAlaGlnGlyLysSerGlnLysGlnIleThrIleProSerGlnGluGlnGluHisSer -

CS#1

341: GlnLysAlaAsnLysIleSerTyrGln|SerSerSerThrGluGluArgArgLeuHisTyr -

CS#2

361: GlyGluAsnGlyValGlnLysAspValSerGlnArgSerIleTyrSer|GlnThrGluLys -

381: LeuValAlaGlyLysSerGlnIleGlnAlaProAsnProLysGlnGluProTrpHisGly -

401: GluAsnAlaLysGlyGluSerGlyGlnSerThrAsnArgGluGlnAspLeuLeuSerHis -

421: GluGlnLysGlyArgHisGlnHisGlySerHisGlyGlyLeuAspIleValIleIleGlu -

441: GlnGluAspAspSerAspArgHisLeuAlaGlnHisLeuAsnAsnAspArgAsnProLeu -

461: PheThr -

Figure 1b

PERCENT PEPTIDE HYDROLYSIS

PEPTIDE	TIME OF INCUBATION (HOURS) 0.5	1	2	3	4	20
1. SYQSSSTE	ND	0	ND	0	ND	0
2. ISYQSSSTE	ND	0	ND	0	ND	0
3. KISYQSSSTE	ND	10	ND	30	ND	90
4. NKISYQSSSTE	ND	30	ND	70	ND	100
5. NKISYQSSST	ND	20	30	ND	ND	100
6. ANKISYQSSSTE	15	25	ND	ND	80	100
7. ANKISYQSSS	4	6	16	30	45	ND
8. NKISYQSSS	2	6	22	44	55	ND
9. ANKISYQSS	1	ND	12	ND	39	ND
10 GRKANKISYQS-SSTEERRLHYGEN G	20	50	ND	ND	90	100

ND = not determined

The single letter code for amino acids is used: A=Ala, E=Glu, G=Gly, H=His, I=Ile, K=Lys, L=Leu, N=Asn, Q=Gln, R=Arg, S=Ser, T=Thr, Y=Tyr.

Figure 2

Peptide	SEQ.ID.NO.	% Peptide Cleaved at 4 Hours by York PSA
Semenogelin (463 aa)		100 (30 min)
GRKANKISYQ-SSSTEERRLHYGENG	6	100 (2 hrs)
SQKANKISYQ-SSSTEERRLHYGENG	67	100 (3 hrs)
ANKISYQ-SSSTE	11	98
ISYQ-SSST	68	0
NKISYQ-SSST	10	62
NKISYQ-SSSTE	3	90
KISYQ-SSSTE	9	49
SYQ-SSSTE	7	0 (3 hrs)
ISYQ-SSSTE	8	0
NKISYQ-SSS	17	55
ANKISYQ-SSS	18	45
ANKISYQ-SS	69	39
ANKISYQ-SSSTE-amide	11	43
Ac-ANKISYQ-SSSTL	70	57
Ac-ANKISYQ-SSSTE-amide	11	40
Ac-ANKISYQ-SSSTL-amide	70	46
Ac-ANGISYQ-SSSTE-amide	71	0
Ac-ANPISYQ-SSSTE-amide	72	0
Ac-ANKISYQ-SASTE-amide	73	66
Ac-ANKISYQ-SSKTE-amide	74	80
Ac-ANKISYQ-SSTE-amide	75	44
Ac-ANKI(dS)YQ-SSSTE-amide	76	9
Ac-ANK(dI)SYQ-SSSTE-amide	77	0
Ac-ANKISYQ-SSQTE-amide	78	55
Ac-ANKISYQ-SAKTE-amide	79	80
Ac-AN(dK)ISYQ-SSSTE-amide	80	3
Ac-ANKISYQ-STE-amide	81	28
Ac-ANKIYQ-SSTE-amide	82	0
Ac-ANKSYQ-SSTE-amide	83	10
Ac-ANKASYQ-SASTE-amide	84	98
Ac-ANEISYQ-SASTE-amide	85	10
Ac-NKISYQ-SS-amide	16	30
Ac-KISYQ-SS-amide	86	15
Ac-SYQ-SSTE-amide	87	65
Ac-SYQ-SSTL-acid	88	83
Ac-ASYQ-SSTE-amide	89	68
Ac-EISYQ-SSSTE-amide	90	0
Ac-ANEISYQ-SSSTE-amide	91	0
Ac-ANKISYY-SSSTE-amide	92	73
Ac-ANKISYY-SASTE-amide	93	91

Figure 3a

Peptide	L-Number	% Peptide Cleaved at 4 Hours by York PSA
Ac-ASYQ-SSL-acid	94	71
Ac-ANSYQ-SSSTE-amide	95	28
Ac-ASYQ-SSSTE-amide	96	64
Ac-SYQ-SSSTE-amide	97	50
Ac-ANKASYQ-SASC-amide	98	78
Ac-Q-SSTE-amide	99	0
Ac-YQ-SSTE-amide	100	0
Ac-SQ-SSTE-amide	101	0
Ac-ANKISQ-SSTE-amide	102	0
Ac-AN(ORN)ISYQ-SSTE-amide	103	34
Ac-S(3PAL)Q-SSTE-amide	104	4
Ac-S(3,4-Cl2F)Q-SSTE-amide	105	6
Ac-SKQ-SSTE-amide	106	0
Ac-SYQ-SSTL-acid	88	81
Ac-SYQ-SSSL-acid	107	98
(e-ACA)-YQ-SSSL-amide	108	0
ANK(N-Me-I)SYQ-SSTE-amide	109	0
SYQ-SSTE-amide	110	0
H0(CH2)2C0-YQ-SSTE-amide	111	0
Ac-SYK-SSTE-amide	112	5
Ac-SYY-SSTE-amide	113	93
Ac-SYQ-SSL-NHNH2	114	32
Ac-SYQ-SSL-acid	115	72
DAP-YQ-SSSL-amide	116	0

Figure 3b

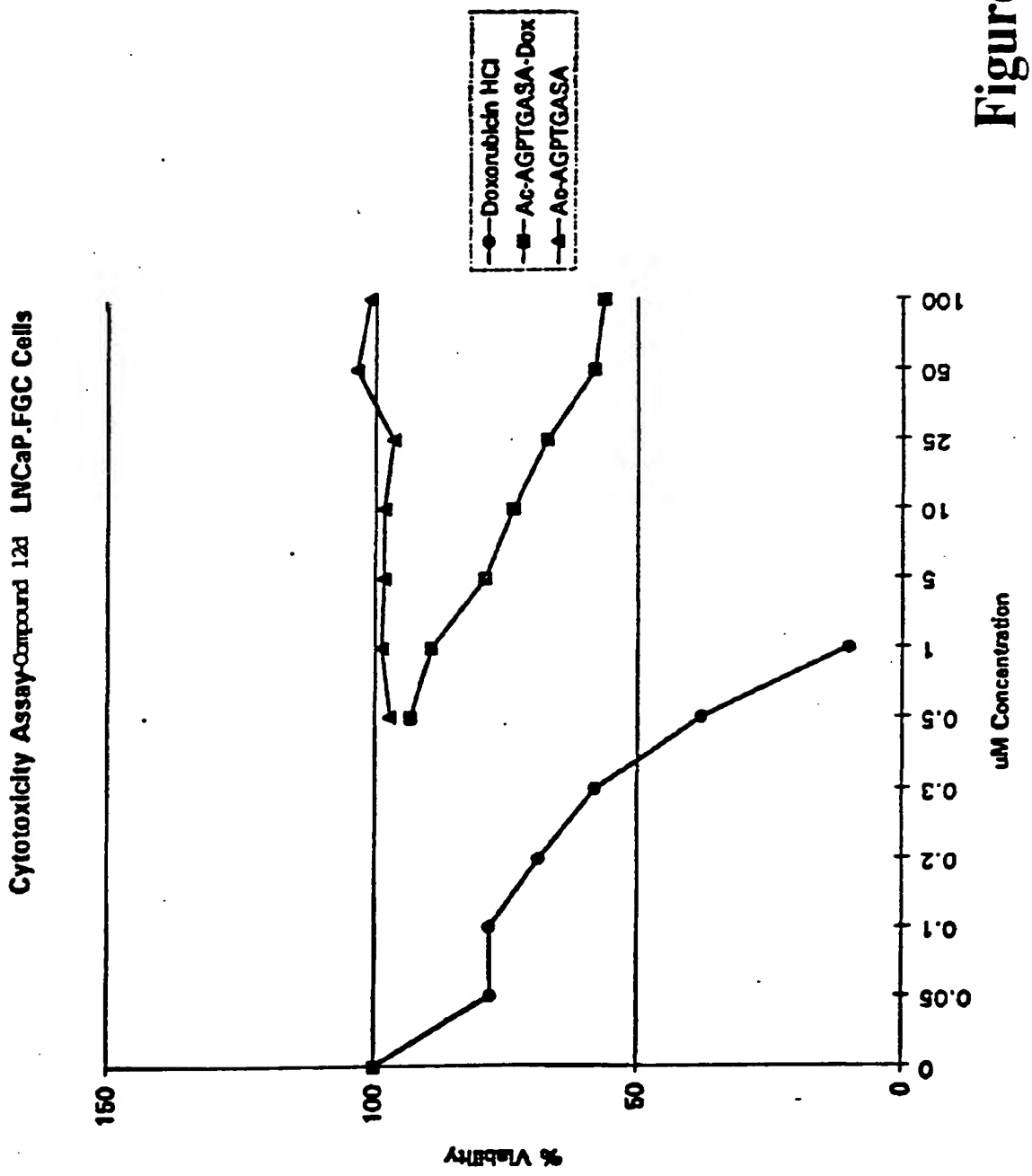
Cytotoxicity Assay-Compound 12d LNCaP.FGC Cells
Doxorubicin HCl
Ac-AGPTGASA-Dox
Ac-AGPTGASA
% Viability
150
100
50
0
uM Concentration
100
50
25
10
5
1
0.5
0.3
0.2
0.1
0.05
0

Figure 4

Doxorubicin-congener	SEQ.ID.NO.	% Peptide Cleaved at 4 Hours by York PSA
Ac-ANKISYQ-SSST-DOX (3')	117	20(1 hr) no sample left
Ac-ANKISYQ-SSSTL-DOX (3')	70	87
Ac-ANKASYQ-SASTL-DOX (3')	118	NA
Ac-ANKASYQ-SASL-DOX (3')	119	100 (3 hr)
Ac-ANKASYQ-SSSL-DOX (3')	120	100 (3 hrs)
Ac-ANKASYQ-SSL-DOX (3')	121	91
Ac-SYQ-SST(dL)-DOX (3')	122	17
Ac-SYQ-SSSL-DOX (3')	107	95 (PARTIALLY SOLUBLE)
Ac-ANKASYA-SSSL-DOX (3')	123	0
Ac-KYQ-SSSL-DOX (3')	124	98 (PARTIALLY SOLUBLE)
Ac-SYQ-SSKL-DOX (3')	125	88
Ac-SYQ-SSK(dL)-DOX (3')	126	87

Figure 5

Table 6

Doxorubicin-congener	SEQ.ID.NO	% Peptide Cleaved/ LNCaP MEDIA 4 HR	% Peptide Cleaved/ DuPRO MEDIA 4 HR
Ac-ANKASYQ-SASL-DOX (3')	119	92	13
Ac-ANKASYQ-SSSL-DOX (3')	121	98	13
Ac-ANKASYQ-SSL-DOX (3')	122	95	27
Ac-SYQ-SSSL-DOX (3')	107	63	0

Figure 6

Doxorubicin-congener	SEQ.ID.NO	LNCaP Cell Kill, EC50 (M)
Ac-ANKISYQ-SSST-DOX (3')	117	> 100
Ac-ANKISYQ-SSSTL-DOX (3')	70	8.4
Ac-ANKASYQ-SASTL-DOX (3')	118	31
Ac-ANKASYQ-SASL-DOX (3')	119	16 (DuPRO > 100)
Ac-ANKASYQ-SSSL-DOX (3')	120	15
Ac-ANKASYQ-SSL-DOX (3')	121	6.5 (DuPRO = 117)
Ac-SYQ-SSSL-DOX (3')	107	20(DuPRO>100)(PARTIALLY SOLUBLE)
Ac-ANKASYA-SSSL-DOX (3')	123	> 100
Ac-KYQ-SSSL-DOX (3')	124	6.5 (DuPRO > 100)
Ac-SYQ-SSKL-DOX (3')	125	11.8 (DuPRO > 100)
Ac-SYQ-SSK(dL)-DOX (3')	126	>100 (DuPRO >100)
Ac-hRYQ-SSSL-DOX (3')	145	6.4 (DuPRO > 100)
Ac-KYQ-SSS(Nle)-DOX (3')	146	4.4 (DuPRO >100)

Figure 7